L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2003:173582 CAPLUS DOCUMENT NUMBER: 138:221586 Preparation of avoles as con-Preparation of azoles as oral antidiabetic INVENTOR (S): Bigge, Christopher Franklin; Bridges, Alesander James Casimiro-Garcia, Augustin; Fakhoury, Stephen Alan: Lee, Helen Tsenwhei; Reed, Jessica Elizabeth; Schaum. Robert Philipp; Schlosser, Kevin Matthew; Sexton, Karen Elaine; Zhou, Hairong Warner Lambert Co., USA PCT Int. Appl., 333 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE WO 2003018553 A1 20030306 WO 2002-IB2843 20020715 WO 2003018553 C1 20040408 W: AE, AM, BA, BG, CA, CO, CU, DE, DK, EE, FI, GB, GE, GH, HR,

ID. IL, IN, JP, KE, KZ, LK, LR, LU, MA, MN
RW: GH, GM, MW, SD, SL, TZ, ZM, AT, BE, CH, CY, SK, TR, BF, CG, CI. GA EP 1423363 423363 A1 20040602 EP 2002-745739 20020715 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT.

1E, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
US 2003171377 Al 20030911 US 2002-225716 20020822
PRIORITY APPLN. INFO.: US 2001-315728P P 20010829
US 2001-3127213P P 20010914
US 2002-369788P P 20020403
WO 2002-1B2843 W 20020715

OTHER SOURCE(S): MARPAT 138:221586
AB AXQYC(B) (D)ZE (A = (substituted) (fused) aryl, heteroaryl, cycloalkyl, heterocycloalkyl, X = CH2O, CH2CH2O, (CH2)3, CH2C.tplbond.C, CH2CH1CH, 0 = (substituted) (fused) aryl, heteroaryl, respectively (CR3R4) Br.

(CR3R4)Br.

R1-R4 = H, halo, alkyl, OH, alkoxy, m, n = 1-3, B = H, halo, alkyl, haloalkyl, alkoxy, D = H, (substituted) arylamino, alkanoyl, PhCO, aryl. PT,

heteroaryl, cycloalkyl, heterocycloalkyl; E = COR5; R5 = alkyl, OH, alkoxy, amino, sulfonylamino, substituted heteroaryl, dioxothiazolyl, etc., with provisos], were prepd. Thus, (S)-tyrosine Me ester, 2,5-dimethoxytetrahydrofuran, and NaOAc were heated in aq. HOAc at

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2002:927184 CAPLUS DOCUMENT NUMBER: 138:14048

138:14048
Preparation of oxazolylethoxyphenylprolines and related compounds as antidiabetic and antiobesity agents.
Cheng, Peter T.; Jeon, Yoon; Wang, Wei Bristol-Myers Squibb Company, USA
PCT Int. Appl., 107 pp.
CODEN: PIXXD2
Patent
English
1 TITLE:

INVENTOR (S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S):

PATENT NO. KIND DATE APPLICATION NO. DATE 2096357 A2 20021205 WO 2002-US16628 20020523 2096357 A3 20030925 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, WO 2002096357 WO 2002096357 CN. CO, CR, CU, CZ, DE, DK, DM, D2, EC, EE, ES, FI, GB, GD, GE, GH. GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR. LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OH, PH. PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ. UA, UG, US, UZ, VN, YU, 2A, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RŲ, TJ, TM RW: GH, GM, KE, LS, MW, M2, SD, SL, S2, T2, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, US 2003092697 A1 20030515 US 2002-153342 20020522 EP 1401433 A2 20040331 EP 2002-737192 20020523 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT. PT, IE, SI, LT, LV, FI, RO, MX, CY, AL, TR
PRIORITY APPLM. INFO.: US 2001-294505P P 20010530
THER SOURCE(5): MARPAT 138:14048

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 100.degree. for 20 min. to give 35% pyrrolotyrosine Me ester. This L4

stirred with 2-(5-methyl-2-phenyloxazol-4-yl)ethanol, Ph3P, and di-Et azodicarboxylate in THF for 18 h to give 51% Me (5)-3-(4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy)phenyl]-2-pyrrol-1-ylpropionate. The latter

stirred with LiOH in THF/H2O to give 51% (5)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]-2-pyrrol-1-ylpropionic acid. In 313-L1

adipocyte differentiation assay, title compds. at 5 .mu.M showed to f 2-1831

the activity of BRL 49653 pos. control. A drug formulation is given. 501029-25-09 RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses) (claimed compd.; prepn. of azoles as oral antidiabetic agents) 501029-25-0 CAPLUS Benzeneacetic acid, .alpha.-[[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THIS

THERE ARE 6 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

Title compds. [I; m, n = 0-2; Q = C, N; A = (CH2)x, (CH2)x1, with an alkenyl or alkynyl bond in the chain, (CH2)x20(CH2)x3; x = 1-5; x1 =

x2, x3 = 0-5; provided that .gtoreq.1 of x2 and x3 .noteq. 0; x1 =

X2 = C, N, O, S; X3 = C, N; X4 = C, N, O, S provided that .gtoreq.1

of X2,
X3, X4 = N; in each of X1-X4, C may include CH; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, (substituted) amino; R2a, R2b R2c = H, alkyl,

alkoxy,
halo, (substituted) aminor R3 = H, alkyl, arylalkyl, aryloxycarbonyl,
alkyloxycarbonyl, alkynyloxycarbonyl, alkenyloxycarbonyl,

akyloxycaruonya, e.n.yy.onya.
arylazbonyl,
alkylcarbonyl, aryl, heteroaryl, cycloheteroalkyl, heteroarylcarbonyl,
heteroarylcarbonylamino, alkylcarbonylamino, arylcarbonylamino,
heteroarylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino,
heteroarylcarbonylamino, heteroarylcarbonyl,

isulfonyl,
alkenylsulfonyl, heteroaryloxycarbonyl, cycloheteroalkyloxycarbonyl,
aryloxyheteroarylalkyl, heteroarylalkyloxyarylalkyl, arylarylalkyl,
arylalkenylarylalkyl, arylaminoarylalkyl, etc.; Y = CO2R4,

1-tetrazolyl, P(O) (OR4a)R5, P(O) (OR4a)2; R4 = H, alkyl, prodrug ester; R4a = H,

produg ester, R5 = sikyl, aryl; 2 = (GH2)x4, (GH2)x5, (GH2)x60(GH2)x7; x4 =

xS=2-5; x6, x7=0-4], were prepd. as antidiabetic and antiobesity agents (no data). Thus, title compd. (II) was prepd. in 6 steps. 47771g-34-39

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

(Reactant or reagent)
(prepn. of owazolylethoxyphenylprolines and related compds. as antidiabetic and antiobesity agents)
477719-54-3 CAPLUS

## Page 3

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 4-Pentenoic acid, 2-[{(1S)-1-[4-{2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy}phenyl]-3-butenyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN AB Title compds. I [wherein Q = C, N; A = 0, S; B = (CH2)x; Z = 0, bond; X = CH, N; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, amino; R3 = H, alkyl; R2 = H, alkyl; R3 = H, alkyl; R4 = H, alkyl; R5 = H, alkyl; R5 = H, alkyl; R6 = H, alkyl; R6 = H, alkyl; R7 = H, alkyl; R7 = H, alkyl; R8 = alkyl, aryloxycarbonyl, alkoxycarbonyl, arylcarbonyl, alkylcarbonyl, alkylcarbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; RZa, R2b, RZc = H, alkyl, alkowy, halo, amino; Y = CO2R4, 1-tetrazolyl, PO(OR4a)R5; R4 alkyl, prodrug or ester; R4a = H, prodrug ester; R5 = alkyl, aryl; x  $1-4;\ m,\ n=1,\ 2]$  were prepd. as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). For example, 4-hydroxybenzaldehyde,
5-methyl-2-phenyloxazole-4ethanol, Ph3P, and DEAD were stirred in THF at O.degree.-room temp. give 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde (65%). Addn. N-benzylglycine Et ester and  $NaBH(OAc)\,3$  in 1,2-dichloroethane afforded the benzylamine deriv. (55%), which was stirred with aq. NaOH in MeOH 14 h to give the title compd. II (71%). I are useful for the treatment of diabetes, esp. Type II diabetes, as well as hyperglycemia, hyperinsulinemia, hyperlipidemia, obesity, atherosclerosis, and related lated
diseases (no data).
331739-69-6P, Glycine, N-[(4-(2-(5-methyl-2-phenyl-4oxazolyl)ethoxyjphenyl]methyl]RL: FAC (Pharmacological activity), RCT (Reactant), SPN (Synthetic
preparation); TRU (Therapeutic use), BIOL (Biological study), PREP
(Preparation), RACT (Reactant or reagent), USES (Uses)
(prepn. of oxazolyl- and thiazolylalkoxybenzylglycines and related
compds. as antidiabetic and antiobesity agents)
331739-69-6 CAPLUS
Glycine. RN 331739-69-6 CAPLUS
CN Glycine,
N-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl](9CI) (CA INDEX NAME)

331746-66-8, Glycine, N-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxylphenyl]methyl]-, mono(trifluoroacetate) RL: RCT (Reactant), RACT (Reactant) or reagent) (prepn. of oxazolyl- and thiazolylalkoxybenzylglycines and related

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2002;502825 CAPLUS DOCUMENT NUMBER: 137:63237 Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related TITLE: compounds as antidiabetic and antiobesity agents Cheng, Peter T.; Devasthale, Pratik; Jeon, Yoon; INVENTOR(S): Sean; Zhang, Hao Bristol-Myers Squibb Company, USA U.S., 190 pp., Cont.-in-part of U.S. Ser. No. PATENT ASSIGNEE(5): SOURCE: 664,598. CODEN: USXXAM Patent DOCUMENT TYPE: LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: US 2001-812960 20010 US 2002-80965 20020 US 2002-81075 20020 PATENT NO. KIND DATE US 6414002 US 2003069275 US 2003087935 US 6727271 US 2003096846 US 6653314 PRIORITY APPLN. INFO.: 20020702 20030410 20030508 20040427 20030522 20010320 B1 A1 A1 B2 A1 B2 US 2002-80981 20020222 US 1999-155400P P 19990922 US 2000-664598 A2 20000918 US 2001-812960 A3 20010320 MARPAT 137:63237

(CH<sub>2</sub>) mNR<sup>3</sup> (CH<sub>2</sub>) nY

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN compds. as antidiabetic and antiobesity agents)
RN 331746-66-8 CAPLUS
CN Glycine,

OTHER SOURCE(S):

331/40-00-8 CAPAUS Glycine, I-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 331739-69-6 CMF C21 H22 N2 O4

331746-22-6P, Alanine, 2-methyl-N-[{4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

(Reactant or reagent)
(prepn. of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)
331746-22-6 CAPLUS
Alanine, 2-methyl-N-[{4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxylphenyllmethyll- (9CI) (CA INDEX NAME)

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
NENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE REFERENCE COUNT: FOR THIS

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

Chen, Sean; Zhang, Hao Bristol-Myers Squibb Company, USA PCT Int. Appl., 362 pp. COUEN: PIXXO2 Patent English 2 PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE KIND DATE W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU. LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE. SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY. DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1218361 A1 2020703 EP 2000-955172 20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, 

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

(CH<sub>2</sub>) mNR<sup>3</sup> (CH<sub>2</sub>) nY

Title compds. [I; Q = C, N; A = O, S; B = (CH2)x; Z = O, bond; X = AB Title compds. [1] y = c, n, ...
CH, N;
R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, aminor R3 = H, alkyl,

ryl, aryloxycarbonyl, alkoxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; RZa, RZb, RZc = H, alkyl, alkoxy, halo, amino; Y = CO2R4, 1-tetrazolyl, PO(OR4a)R5; R4

alkyl, prodrug or ester: R4a = H, prodrug ester: R5 = alkyl, aryl: x

 $1-4\imath$  m, n = 1, 2], were prepd. as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid ls (no data). Thus, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph3P, and DEAD were stirred in THF at 0.degree.-room temp.

give 65t 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde. This was stirred 12 h with N-benzylglycine Et ester and NaBH(OAc)3 in 1,2-dichloroethane to give 55t benzylamine deriv., which was stirred

with aq. NaOH in MeOH to give 71% title compd. (II). 331739-69-69

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

ogical study, unclassified); RCT (Reactant); SPN (Synthetic preparation);

(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents) 331739-69-6 CAPLUS

CN Glycine, N-(4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-(SC) (CA INDEX NAME)

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

MARPAT 134:266299

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

134:266299

2001:228872 CAPLUS

Preparation of oxazolyl- and thiazolylalkowybenzylglycines and related

antidiabetic and antiobesity agents. Cheng, Peter T. W.; Devasthale, Pratik; Jeon,

US 1999-155400P P 19990922 WO 2000-US25710 W 20000919

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

compounds as

INVENTOR(S):

331746-66-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antiddabetic and antiobesity agents)
331746-66-8 CAPLUS

PRIORITY APPLN. INFO.: OTHER SOURCE(S):

ON Glycine, N-[[4-{2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-, monotcrifluoroacetate) (SCI) (CA INDEX NAME)

CM 1

CRN 331739-69-6 CMF C21 H22 N2 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 331746-22-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

(Reactant or reagent)
(prepn. of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)
331746-22-6 CAPLUS
Alanine, 2-methyl-N-[[4-[2-(5-methyl-2-phenyl-4-cxazolyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

## Page 5

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT: THIS

THERE ARE 3 CITED REFERENCES AVAILABLE FOR

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RECORD. ALL CITATIONS AVAILABLE IN THE RE

=> file beil COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 21.37 182.73 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -2.94-2.94

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separate documents and can not be searched together in one query.

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>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

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SAMPLE SEARCH INITIATED 09:09:35 FILE 'BEILSTEIN' SAMPLE SCREEN SEARCH COMPLETED -0 TO ITERATE 100.0% PROCESSED 0 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO (

L5 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 09:09:43 FILE 'BEILSTEIN'
FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.04

L6 0 SEA SSS FUL L1

=> d his

(FILE 'HOME' ENTERED AT 09:06:23 ON 02 JUL 2004)

FILE 'REGISTRY' ENTERED AT 09:06:28 ON 02 JUL 2004

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 5 S L1 FULL

FILE 'CAPLUS' ENTERED AT 09:07:33 ON 02 JUL 2004

L4 4 S L3

FILE 'BEILSTEIN' ENTERED AT 09:09:28 ON 02 JUL 2004

L5 0 S L1

L6 0 S L1 FULL

=> d l1

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

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Executing the logoff script...

=> LOG Y

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0001	0.00	102.75
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY 0.00	SESSION -2.94
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